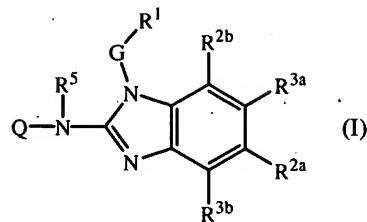


Claims

1. A compound having the formula

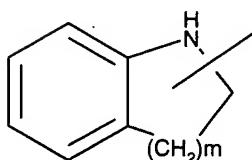


5 a prodrug, N-oxide, addition salt, quaternary amine, metal complex, or a stereochemically isomeric form thereof; wherein

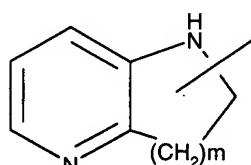
G is a direct bond or C<sub>1-10</sub>alkanediyl optionally substituted with one or more substituents individually selected from the group of substituents consisting of hydroxy, C<sub>1-6</sub>alkyloxy, Ar<sup>1</sup>C<sub>1-6</sub>alkyloxy, C<sub>1-6</sub>alkylthio, Ar<sup>1</sup>C<sub>1-6</sub>alkylthio,

10 HO(-CH<sub>2</sub>-CH<sub>2</sub>-O)<sub>n</sub>-, C<sub>1-6</sub>alkyloxy(-CH<sub>2</sub>-CH<sub>2</sub>-O)<sub>n</sub>- or Ar<sup>1</sup>C<sub>1-6</sub>alkyloxy(-CH<sub>2</sub>-CH<sub>2</sub>-O)<sub>n</sub>-;

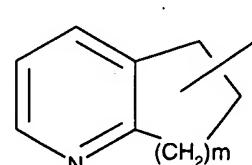
15 R<sup>1</sup> is Ar<sup>1</sup> or a monocyclic or bicyclic heterocycle being selected from piperidinyl, piperazinyl, pyridyl, pyrazinyl, pyridazinyl, pyrimidinyl, furanyl, tetrahydro-furanyl, thienyl, pyrrolyl, thiazolyl, oxazolyl, imidazolyl, isothiazolyl, pyrazolyl, isoxazolyl, oxadiazolyl, quinolinyl, quinoxalinyl, benzofuranyl, benzothienyl, benzimidazolyl, benzoxazolyl, benzthiazolyl, pyridopyridyl, naphthiridinyl, 1H-imidazo[4,5-b]pyridinyl, 3H-imidazo[4,5-b]pyridinyl, imidazo[1,2-a]-pyridinyl, 2,3-dihydro-1,4-dioxino[2,3-b]pyridyl or a radical of formula



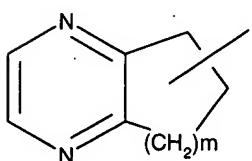
(c-1)



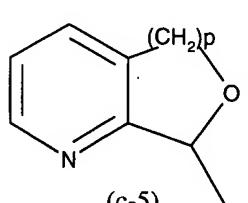
(c-2)



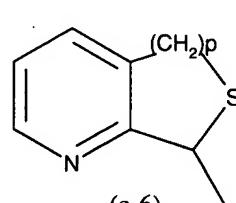
(c-3)



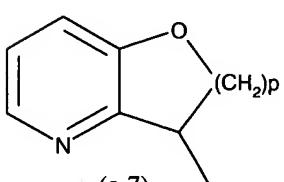
(c-4)



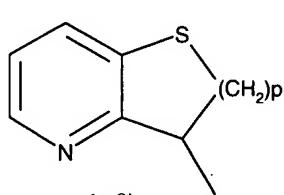
(c-5)



(c-6)



(c-7)



(c-8)

;

wherein each of said monocyclic or bicyclic heterocycles may optionally be substituted with 1 or where possible more, such as 2, 3, 4 or 5, substituents individually selected from the group of substituents consisting of halo, hydroxy, amino, cyano, carboxyl, C<sub>1</sub>-6alkyl, C<sub>1</sub>-6alkyloxy, C<sub>1</sub>-6alkylthio, C<sub>1</sub>-6alkyloxyC<sub>1</sub>-6alkyl, Ar<sup>1</sup>, Ar<sup>1</sup>C<sub>1</sub>-6alkyl, Ar<sup>1</sup>C<sub>1</sub>-6alkyloxy, hydroxyC<sub>1</sub>-6alkyl, mono- or di(C<sub>1</sub>-6alkyl)amino, mono- or di(C<sub>1</sub>-6alkyl)aminoC<sub>1</sub>-6alkyl, polyhaloC<sub>1</sub>-6alkyl, C<sub>1</sub>-6alkylcarbonylamino, C<sub>1</sub>-6alkyl-SO<sub>2</sub>-NR<sup>5c</sup>-, Ar<sup>1</sup>-SO<sub>2</sub>-NR<sup>5c</sup>-, C<sub>1</sub>-6alkyloxycarbonyl, -C(=O)-NR<sup>5c</sup>R<sup>5d</sup>, HO(-CH<sub>2</sub>-CH<sub>2</sub>-O)<sub>n</sub>-, halo(-CH<sub>2</sub>-CH<sub>2</sub>-O)<sub>n</sub>-, C<sub>1</sub>-6alkyloxy(-CH<sub>2</sub>-CH<sub>2</sub>-O)<sub>n</sub>-, Ar<sup>1</sup>C<sub>1</sub>-6alkyloxy(-CH<sub>2</sub>-CH<sub>2</sub>-O)<sub>n</sub>- and mono- or di(C<sub>1</sub>-6alkyl)amino(-CH<sub>2</sub>-CH<sub>2</sub>-O)<sub>n</sub>-;

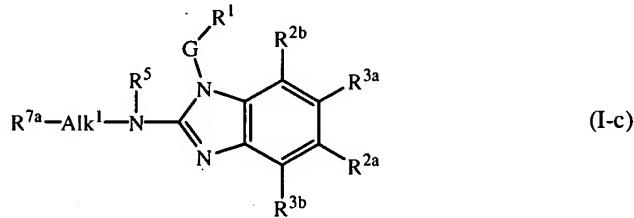
5      10      each n independently is 1, 2, 3 or 4;  
each m independently is 1 or 2;  
each p independently is 1 or 2;  
each t independently is 0, 1 or 2;  
Q is R<sup>7</sup>, pyrrolidinyl substituted with R<sup>7</sup>, piperidinyl substituted with R<sup>7</sup> or homo-  
15      15      piperidinyl substituted with R<sup>7</sup> wherein  
R<sup>7</sup> is C<sub>1</sub>-6alkyl substituted with a heterocycle or R<sup>7</sup> is C<sub>1</sub>-6alkyl substituted with both a radical -OR<sup>8</sup> and a heterocycle, wherein said heterocycle is selected from the group consisting of oxazolidine, thiazolidine, 1-oxo-thiazolidine, 1,1-dioxothiazolidine, morpholinyl, thiomorpholinyl, 1-oxo-thiomorpholinyl, 1,1-dioxothiomorpholinyl,  
20      20      hexahydrooxazepine, hexahydrothiazepine, 1-oxo-hexahydrothiazepine, 1,1-dioxohexahydrothiazepine; wherein each of said heterocyle may be optionally substituted with one or two substituents selected from the group consisting of C<sub>1</sub>-6alkyl, hydroxyC<sub>1</sub>-6alkyl, aminocarbonylC<sub>1</sub>-6alkyl, hydroxy, carboxyl, C<sub>1</sub>-4alkyloxycarbonyl, aminocarbonyl, mono- or di(C<sub>1</sub>-4alkyl)aminocarbonyl, C<sub>1</sub>-4alkylcarbonylamino, aminosulfonyl and mono- or di(C<sub>1</sub>-4alkyl)aminosulfonyl;  
25      25      R<sup>8</sup> is hydrogen, C<sub>1</sub>-6alkyl or Ar<sup>1</sup>C<sub>1</sub>-6alkyl;  
one of R<sup>2a</sup> and R<sup>3a</sup> is selected from halo, optionally mono- or polysubstituted C<sub>1</sub>-6alkyl, optionally mono- or polysubstituted C<sub>2</sub>-6alkenyl, nitro, hydroxy, Ar<sup>2</sup>, N(R<sup>4a</sup>R<sup>4b</sup>), N(R<sup>4a</sup>R<sup>4b</sup>)sulfonyl, N(R<sup>4a</sup>R<sup>4b</sup>)carbonyl, C<sub>1</sub>-6alkyloxy, Ar<sup>2</sup>oxy, Ar<sup>2</sup>C<sub>1</sub>-6alkyloxy, carboxyl, C<sub>1</sub>-6alkyloxycarbonyl, or -C(=Z)Ar<sup>2</sup>; and the other one of R<sup>2a</sup> and R<sup>3a</sup> is hydrogen;  
30      30      wherein  
- =Z is =O, =CH-C(=O)-NR<sup>5a</sup>R<sup>5b</sup>, =CH<sub>2</sub>, =CH-C<sub>1</sub>-6alkyl, =N-OH or =N-O-C<sub>1</sub>-6alkyl; and  
- the optional substituents on C<sub>1</sub>-6alkyl and C<sub>2</sub>-6alkenyl can be the same or can be different relative to one another, and are each independently selected from the group of substituents consisting of hydroxy, cyano, halo, nitro, N(R<sup>4a</sup>R<sup>4b</sup>), N(R<sup>4a</sup>R<sup>4b</sup>)sulfonyl, Het, Ar<sup>2</sup>, C<sub>1</sub>-6alkyloxy, C<sub>1</sub>-6alkyl-S(=O)<sub>2</sub>, Ar<sup>2</sup>oxy,

Ar<sup>2</sup>-S(=O)<sub>t</sub>, Ar<sup>2</sup>C<sub>1-6</sub>alkyloxy, Ar<sup>2</sup>C<sub>1-6</sub>alkyl-S(=O)<sub>t</sub>, Het-oxy, Het-S(=O)<sub>t</sub>,  
HetC<sub>1-6</sub>alkyloxy, HetC<sub>1-6</sub>alkyl-S(=O)<sub>t</sub>, carboxyl, C<sub>1-6</sub>alkyloxycarbonyl and  
-C(=Z)Ar<sup>2</sup>;

- in case R<sup>2a</sup> is different from hydrogen then R<sup>2b</sup> is hydrogen, C<sub>1-6</sub>alkyl or halogen and  
5 R<sup>3b</sup> is hydrogen;
- in case R<sup>3a</sup> is different from hydrogen then R<sup>3b</sup> is hydrogen, C<sub>1-6</sub>alkyl or halogen and  
R<sup>2b</sup> is hydrogen;
- R<sup>4a</sup> and R<sup>4b</sup> can be the same or can be different relative to one another, and are each  
10 independently selected from the group of substituents consisting of hydrogen,  
C<sub>1-6</sub>alkyl, Ar<sup>2</sup>C<sub>1-6</sub>alkyl, (Ar<sup>2</sup>)(hydroxy)C<sub>1-6</sub>alkyl, Het-C<sub>1-6</sub>alkyl, hydroxyC<sub>1-6</sub>alkyl,  
mono- and di-(C<sub>1-6</sub>alkyloxy)C<sub>1-6</sub>alkyl, (hydroxyC<sub>1-6</sub>alkyl)oxyC<sub>1-6</sub>alkyl,  
Ar<sup>1</sup>C<sub>1-6</sub>alkyloxy-C<sub>1-6</sub>alkyl, dihydroxyC<sub>1-6</sub>alkyl, (C<sub>1-6</sub>alkyloxy)(hydroxy)C<sub>1-6</sub>alkyl,  
15 (Ar<sup>1</sup>C<sub>1-6</sub>alkyloxy)(hydroxy)C<sub>1-6</sub>alkyl, Ar<sup>1</sup>oxy-C<sub>1-6</sub>alkyl, (Ar<sup>1</sup>oxy)(hydroxy)-  
C<sub>1-6</sub>alkyl, aminoC<sub>1-6</sub>alkyl, mono- and di(C<sub>1-6</sub>alkyl)amino-C<sub>1-6</sub>alkyl,  
carboxylC<sub>1-6</sub>alkyl, C<sub>1-6</sub>alkyloxycarbonylC<sub>1-6</sub>alkyl, aminocarbonylC<sub>1-6</sub>alkyl, mono-  
and di(C<sub>1-6</sub>alkyl)aminocarbonylC<sub>1-6</sub>alkyl, C<sub>1-6</sub>alkylcarbonylC<sub>1-6</sub>alkyl, (C<sub>1-4</sub>alkyl-  
oxy)<sub>2</sub>P(=O)-C<sub>1-6</sub>alkyl, (C<sub>1-4</sub>alkyloxy)<sub>2</sub>P(=O)-O-C<sub>1-6</sub>alkyl, aminosulfonyl-  
C<sub>1-6</sub>alkyl, mono- and di(C<sub>1-6</sub>alkyl)aminosulfonyl-C<sub>1-6</sub>alkyl, C<sub>1-6</sub>alkylcarbonyl,  
20 Ar<sup>2</sup>carbonyl, Het-carbonyl, Ar<sup>2</sup>C<sub>1-6</sub>alkylcarbonyl, Het-C<sub>1-6</sub>alkylcarbonyl,  
C<sub>1-6</sub>alkylsulfonyl, aminosulfonyl, mono- and di(C<sub>1-6</sub>alkyl)aminosulfonyl,  
Ar<sup>2</sup>sulfonyl, Ar<sup>2</sup>C<sub>1-6</sub>alkylsulfonyl, Ar<sup>2</sup>, Het, Het-sulfonyl, HetC<sub>1-6</sub>alkylsulfonyl;  
R<sup>5</sup> is hydrogen or C<sub>1-6</sub>alkyl;
- R<sup>5a</sup> and R<sup>5b</sup> can be the same or can be different relative to one another, and are each  
25 independently hydrogen or C<sub>1-6</sub>alkyl; or
- R<sup>5a</sup> and R<sup>5b</sup> taken together may form a bivalent radical of formula -(CH<sub>2</sub>)<sub>s</sub>- wherein s is 4  
or 5;
- R<sup>5c</sup> and R<sup>5d</sup> can be the same or can be different relative to one another, and are each  
30 independently hydrogen or C<sub>1-6</sub>alkyl; or
- R<sup>5c</sup> and R<sup>5d</sup> taken together may form a bivalent radical of formula -(CH<sub>2</sub>)<sub>s</sub>- wherein s is 4  
or 5;
- Ar<sup>1</sup> is phenyl or phenyl substituted with 1 or more, such as 2, 3 or 4, substituents  
selected from halo, hydroxy, C<sub>1-6</sub>alkyl, hydroxyC<sub>1-6</sub>alkyl, polyhaloC<sub>1-6</sub>alkyl, and  
C<sub>1-6</sub>alkyloxy;
- Ar<sup>2</sup> is phenyl, phenyl annelated with C<sub>5-7</sub>cycloalkyl, or phenyl substituted with 1 or  
35 more, such as 2, 3, 4 or 5, substituents selected from halo, cyano, C<sub>1-6</sub>alkyl,  
Het-C<sub>1-6</sub>alkyl, Ar<sup>1</sup>-C<sub>1-6</sub>alkyl, cyanoC<sub>1-6</sub>alkyl, C<sub>2-6</sub>alkenyl, cyanoC<sub>2-6</sub>alkenyl,  
R<sup>6b</sup>-O-C<sub>3-6</sub>alkenyl, C<sub>2-6</sub>alkynyl, cyanoC<sub>2-6</sub>alkynyl, R<sup>6b</sup>-O-C<sub>3-6</sub>alkynyl, Ar<sup>1</sup>, Het,  
R<sup>6b</sup>-O-, R<sup>6b</sup>-S-, R<sup>6c</sup>-SO-, R<sup>6c</sup>-SO<sub>2</sub>-, R<sup>6b</sup>-O-C<sub>1-6</sub>alkyl-SO<sub>2</sub>-, -N(R<sup>6a</sup>R<sup>6b</sup>), polyhalo-

- C<sub>1-6</sub>alkyl, polyhaloC<sub>1-6</sub>alkyloxy, polyhaloC<sub>1-6</sub>alkylthio, R<sup>6c</sup>-C(=O)-,  
 R<sup>6b</sup>-O-C(=O)-, N(R<sup>6a</sup>R<sup>6b</sup>)-C(=O)-, R<sup>6b</sup>-O-C<sub>1-10</sub>alkyl, R<sup>6b</sup>-S-C<sub>1-6</sub>alkyl,  
 R<sup>6c</sup>-S(=O)<sub>2</sub>-C<sub>1-6</sub>alkyl, N(R<sup>6a</sup>R<sup>6b</sup>)-C<sub>1-6</sub>alkyl, R<sup>6c</sup>-C(=O)-C<sub>1-6</sub>alkyl,  
 R<sup>6b</sup>-O-C(=O)-C<sub>1-6</sub>alkyl, N(R<sup>6a</sup>R<sup>6b</sup>)-C(=O)-C<sub>1-6</sub>alkyl, R<sup>6c</sup>-C(=O)-NR<sup>6b</sup>-,  
 5 R<sup>6c</sup>-C(=O)-O-, R<sup>6c</sup>-C(=O)-NR<sup>6b</sup>-C<sub>1-6</sub>alkyl, R<sup>6c</sup>-C(=O)-O-C<sub>1-6</sub>alkyl,  
 N(R<sup>6a</sup>R<sup>6b</sup>)-S(=O)<sub>2</sub>-, H<sub>2</sub>N-C(=NH)-;
- R<sup>6a</sup> is hydrogen, C<sub>1-6</sub>alkyl, Ar<sup>1</sup>, Ar<sup>1</sup>C<sub>1-6</sub>alkyl, C<sub>1-6</sub>alkylcarbonyl, Ar<sup>1</sup>carbonyl,  
 Ar<sup>1</sup>C<sub>1-6</sub>alkylcarbonyl, C<sub>1-6</sub>alkylsulfonyl, Ar<sup>1</sup>sulfonyl, Ar<sup>1</sup>C<sub>1-6</sub>alkylsulfonyl,  
 10 C<sub>1-6</sub>alkyloxyC<sub>1-6</sub>alkyl, aminoC<sub>1-6</sub>alkyl, mono- or di(C<sub>1-6</sub>alkyl)aminoC<sub>1-6</sub>alkyl,  
 hydroxyC<sub>1-6</sub>alkyl, (carboxyl)-C<sub>1-6</sub>alkyl, (C<sub>1-6</sub>alkyloxycarbonyl)-C<sub>1-6</sub>alkyl,  
 aminocarbonylC<sub>1-6</sub>alkyl, mono- and di(C<sub>1-6</sub>alkyl)aminocarbonylC<sub>1-6</sub>alkyl,  
 aminosulfonyl-C<sub>1-6</sub>alkyl, mono- and di(C<sub>1-6</sub>alkyl)aminosulfonyl-C<sub>1-6</sub>alkyl, Het,  
 Het-C<sub>1-6</sub>alkyl, Het-carbonyl, Het-sulfonyl, Het-C<sub>1-6</sub>alkylcarbonyl;
- R<sup>6b</sup> is hydrogen, C<sub>1-6</sub>alkyl, Ar<sup>1</sup> or Ar<sup>1</sup>C<sub>1-6</sub>alkyl;
- 15 R<sup>6c</sup> is C<sub>1-6</sub>alkyl, Ar<sup>1</sup> or Ar<sup>1</sup>C<sub>1-6</sub>alkyl;
- Het is a heterocycle being selected from tetrahydrofuran, tetrahydrothienyl,  
 pyrrolidinyl, pyrrolidinonyl, furanyl, thienyl, pyrrolyl, thiazolyl, oxazolyl,  
 imidazolyl, isothiazolyl, pyrazolyl, isoxazolyl, oxadiazolyl, thiadiazolyl,  
 piperidinyl, homopiperidinyl, piperazinyl, morpholinyl, pyridyl, pyrazinyl,  
 20 pyridazinyl, pyrimidinyl, tetrahydroquinolinyl, quinolinyl, isoquinolinyl,  
 benzodioxanyl, benzodioxolyl, indolinyl, indolyl, each of said heterocycle may  
 optionally be substituted with oxo, amino, Ar<sup>1</sup>, C<sub>1-4</sub>alkyl, aminoC<sub>1-4</sub>alkyl,  
 Ar<sup>1</sup>C<sub>1-4</sub>alkyl, mono- or di(C<sub>1-6</sub>alkyl)aminoC<sub>1-6</sub>alkyl, mono- or di(C<sub>1-6</sub>alkyl)amino,  
 (hydroxyC<sub>1-6</sub>alkyl)amino, and optionally further with one or two C<sub>1-4</sub>alkyl  
 25 radicals.

2. A compound according to claim 1 wherein the compound has the formula:



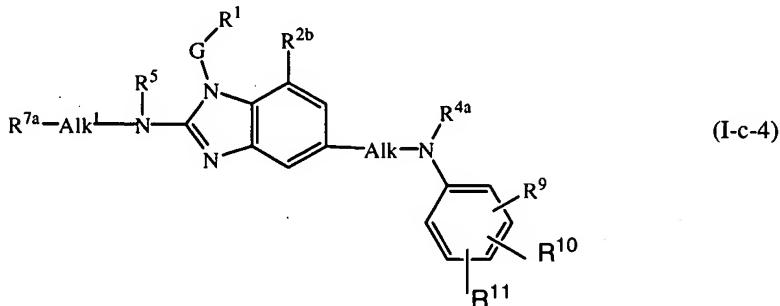
- wherein R<sup>5</sup>, G, R<sup>1</sup>, R<sup>2a</sup>, R<sup>2b</sup>, R<sup>3a</sup>, R<sup>3b</sup> are as claimed in claim 1 and  
 30 Alk<sup>1</sup> is C<sub>1-6</sub>alkanediyl;  
 R<sup>7a</sup> is a heterocycle which is selected from the group consisting of oxazolidine,  
 thiazolidine, 1-oxo-thiazolidine, 1,1-dioxothiazolidine, morpholinyl,  
 thiomorpholinyl, 1-oxo-thiomorpholinyl, 1,1-dioxothiomorpholinyl, hexahydro-  
 oxazepine, hexahydrothiazepine, 1-oxo-hexahydrothiazepine and



R<sup>6b</sup>-O-C<sub>3-6</sub>alkenyl, C<sub>2-6</sub>alkynyl, cyanoC<sub>2-6</sub>alkynyl, R<sup>6b</sup>-O-C<sub>3-6</sub>alkynyl, Ar<sup>1</sup>,  
 Het, R<sup>6b</sup>-O-, R<sup>6b</sup>-S-, R<sup>6c</sup>-SO-, R<sup>6c</sup>-SO<sub>2</sub>-, R<sup>6b</sup>-O-C<sub>1-6</sub>alkyl-SO<sub>2</sub>-, -N(R<sup>6a</sup>R<sup>6b</sup>),  
 polyhaloC<sub>1-6</sub>alkyl, polyhaloC<sub>1-6</sub>alkyloxy, polyhaloC<sub>1-6</sub>alkylthio, R<sup>6c</sup>-C(=O)-,  
 R<sup>6b</sup>-O-C(=O)-, N(R<sup>6a</sup>R<sup>6b</sup>)-C(=O)-, R<sup>6b</sup>-O-C<sub>1-6</sub>alkyl, R<sup>6b</sup>-S-C<sub>1-6</sub>alkyl,  
 5 R<sup>6c</sup>-S(=O)<sub>2</sub>-C<sub>1-6</sub>alkyl, N(R<sup>6a</sup>R<sup>6b</sup>)-C<sub>1-6</sub>alkyl, R<sup>6c</sup>-C(=O)-C<sub>1-6</sub>alkyl,  
 R<sup>6b</sup>-O-C(=O)-C<sub>1-6</sub>alkyl, N(R<sup>6a</sup>R<sup>6b</sup>)-C(=O)-C<sub>1-6</sub>alkyl, R<sup>6c</sup>-C(=O)-NR<sup>6b</sup>-,  
 R<sup>6c</sup>-C(=O)-O-, R<sup>6c</sup>-C(=O)-NR<sup>6b</sup>-C<sub>1-6</sub>alkyl, R<sup>6c</sup>-C(=O)-O-C<sub>1-6</sub>alkyl,  
 N(R<sup>6a</sup>R<sup>6b</sup>)-S(=O)<sub>2</sub>-, H<sub>2</sub>N-C(=NH)-;  
 and Alk is C<sub>1-6</sub>alkanediyl.

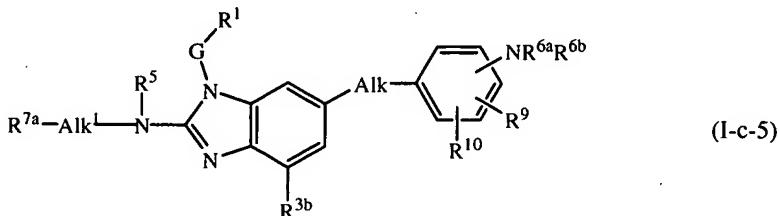
10

6. A compound according to claim 1 wherein the compound has the formula:



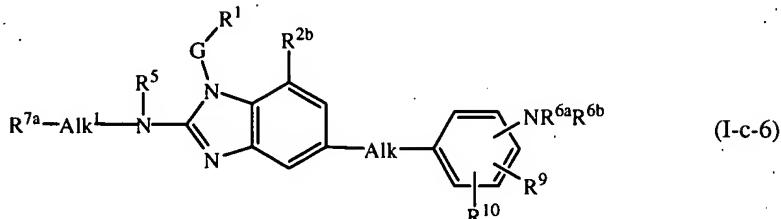
15 wherein R<sup>5</sup>, G, R<sup>1</sup>, R<sup>4a</sup>, R<sup>2b</sup> are as claimed in claim 1, and Alk<sup>1</sup> and R<sup>7a</sup> are as claimed in claim 2; R<sup>9</sup>, R<sup>10</sup>, R<sup>11</sup> and Alk are as claimed in claim 5.

7. A compound according to claim 1 wherein the compound has the formula:



20 wherein R<sup>5</sup>, G, R<sup>1</sup>, R<sup>3b</sup> are as claimed in claim 1, and Alk<sup>1</sup> and R<sup>7a</sup> are as claimed in claim 2; R<sup>9</sup>, R<sup>10</sup> and Alk are as claimed in claim 5; and R<sup>6a</sup> is hydrogen, C<sub>1-6</sub>alkyl, Ar<sup>1</sup>, Ar<sup>1</sup>C<sub>1-6</sub>alkyl, C<sub>1-6</sub>alkylcarbonyl, Ar<sup>1</sup>carbonyl, Ar<sup>1</sup>C<sub>1-6</sub>alkylcarbonyl, C<sub>1-6</sub>alkylsulfonyl, Ar<sup>1</sup>sulfonyl, Ar<sup>1</sup>C<sub>1-6</sub>alkylsulfonyl, C<sub>1-6</sub>alkyloxyC<sub>1-6</sub>alkyl, aminoC<sub>1-6</sub>alkyl, mono- or di(C<sub>1-6</sub>alkyl)aminoC<sub>1-6</sub>alkyl, hydroxyC<sub>1-6</sub>alkyl, (carboxyl)-C<sub>1-6</sub>alkyl, (C<sub>1-6</sub>alkyloxycarbonyl)-C<sub>1-6</sub>alkyl, aminocarbonylC<sub>1-6</sub>alkyl, mono- and di(C<sub>1-6</sub>alkyl)aminocarbonylC<sub>1-6</sub>alkyl, aminosulfonyl-C<sub>1-6</sub>alkyl, mono- and di(C<sub>1-6</sub>alkyl)aminosulfonyl-C<sub>1-6</sub>alkyl, Het, Het-C<sub>1-6</sub>alkyl, Het-carbonyl, Het-sulfonyl, Het-C<sub>1-6</sub>alkylcarbonyl; 25 R<sup>6b</sup> is hydrogen, C<sub>1-6</sub>alkyl, Ar<sup>1</sup> or Ar<sup>1</sup>C<sub>1-6</sub>alkyl.

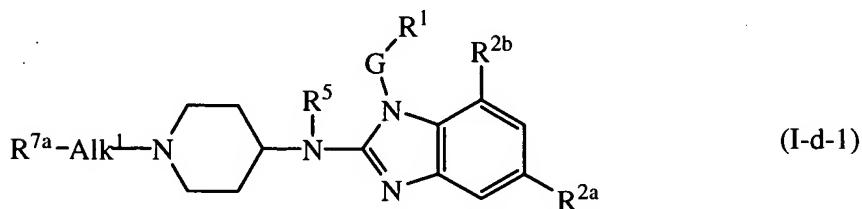
8. A compound according to claim 1 wherein the compound has the formula:



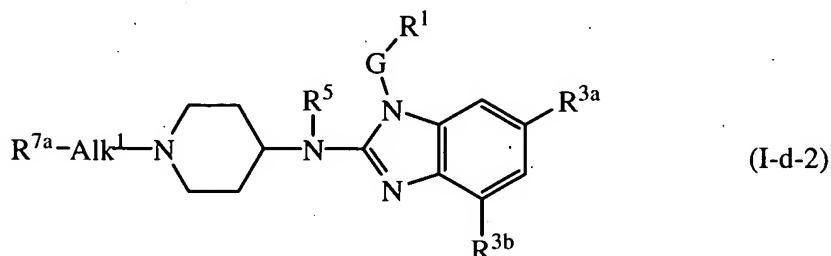
5

wherein R<sup>5</sup>, G, R<sup>1</sup>, R<sup>2b</sup> are as claimed in claim 1, and Alk<sup>1</sup> and R<sup>7a</sup> are as claimed in claim 2; R<sup>9</sup>, R<sup>10</sup> and Alk are as claimed in claim 5; and R<sup>6a</sup> and R<sup>6b</sup> are as claimed in claim 7.

- 10 9. A compound according to claim 1 wherein the compound has the formula:



or of formula:

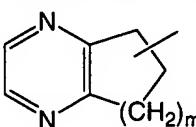


15

wherein R<sup>5</sup>, G, R<sup>1</sup>, R<sup>2a</sup>, R<sup>2b</sup>, R<sup>3a</sup>, R<sup>3b</sup> are as claimed in claim 1, and Alk<sup>1</sup> and R<sup>7a</sup> are as claimed in claim 2.

10. A compound according to any of claims 2 to 9, wherein R<sup>7a</sup> is a heterocycle selected from the group consisting of oxazolidine, thiazolidine, morpholinyl, thiomorpholinyl, hexahydrooxazepine, hexahydrothiazepine; wherein each of said heterocyle may be optionally substituted with one or two substituents selected from the group consisting of C<sub>1-6</sub>alkyl, hydroxyC<sub>1-6</sub>alkyl, aminocarbonylC<sub>1-6</sub>alkyl.

11. A compound according to any of claims 2 to 10, wherein R<sup>7a</sup> is a heterocycle, wherein said heterocycle is oxazolidine, thiazolidine, morpholinyl or thiomorpholinyl, wherein each of said heterocycle may be optionally substituted with one or two substituents selected from the group consisting of C<sub>1-6</sub>alkyl,  
5 hydroxy-  
C<sub>1-6</sub>alkyl, aminocarbonylC<sub>1-6</sub>alkyl.
12. A compound according to any of claims 2 to 10, wherein R<sup>7a</sup> is morpholinyl.
- 10 13. A compound according to any of claims 5 to 8, wherein Alk is methylene.
14. A compound according to any of claims 2 to 10, wherein Alk<sup>1</sup> is C<sub>1-4</sub>alkanediyl.
15. A compound according to any of claims 5 to 8, wherein R<sup>9</sup>, R<sup>10</sup>, R<sup>11</sup> are selected  
from halo, cyano, C<sub>1-6</sub>alkyl, Het-C<sub>1-6</sub>alkyl, Ar<sup>1</sup>-C<sub>1-6</sub>alkyl, cyanoC<sub>1-6</sub>alkyl,  
C<sub>2-6</sub>alkenyl, cyanoC<sub>2-6</sub>alkenyl, R<sup>6b</sup>-O-C<sub>3-6</sub>alkenyl, C<sub>2-6</sub>alkynyl, cyanoC<sub>2-6</sub>alkynyl,  
R<sup>6b</sup>-O-C<sub>3-6</sub>alkynyl, Ar<sup>1</sup>, Het, R<sup>6b</sup>-O-, R<sup>6b</sup>-S-, R<sup>6c</sup>-SO-, R<sup>6c</sup>-SO<sub>2</sub>-,  
R<sup>6b</sup>-O-C<sub>1-6</sub>alkyl-SO<sub>2</sub>-, -N(R<sup>6a</sup>R<sup>6b</sup>), CF<sub>3</sub>, R<sup>6c</sup>-C(=O)-, R<sup>6b</sup>-O-C(=O)-,  
N(R<sup>6a</sup>R<sup>6b</sup>)-C(=O)-, R<sup>6b</sup>-O-C<sub>1-6</sub>alkyl, R<sup>6b</sup>-S-C<sub>1-6</sub>alkyl, R<sup>6c</sup>-S(=O)<sub>2</sub>-C<sub>1-6</sub>alkyl,  
20 N(R<sup>6a</sup>R<sup>6b</sup>)-C<sub>1-6</sub>alkyl, R<sup>6c</sup>-C(=O)-C<sub>1-6</sub>alkyl, R<sup>6b</sup>-O-C(=O)-C<sub>1-6</sub>alkyl,  
N(R<sup>6a</sup>R<sup>6b</sup>)-C(=O)-C<sub>1-6</sub>alkyl and R<sup>6c</sup>-C(=O)-NR<sup>6b</sup>-, H<sub>2</sub>N-C(=NH)-.
16. A compound according to any of claims 5 to 8, wherein R<sup>9</sup>, R<sup>10</sup>, R<sup>11</sup> are selected  
from C<sub>1-6</sub>alkyl, Het-C<sub>1-6</sub>alkyl, Ar<sup>1</sup>-C<sub>1-6</sub>alkyl, cyanoC<sub>1-6</sub>alkyl, C<sub>2-6</sub>alkenyl, cyano-  
25 C<sub>2-6</sub>alkenyl, R<sup>6b</sup>-O-C<sub>3-6</sub>alkenyl, C<sub>2-6</sub>alkynyl, cyanoC<sub>2-6</sub>alkynyl, R<sup>6b</sup>-O-C<sub>3-6</sub>alkynyl,  
R<sup>6b</sup>-O-C<sub>1-6</sub>alkyl, R<sup>6b</sup>-S-C<sub>1-6</sub>alkyl, R<sup>6c</sup>-S(=O)<sub>2</sub>-C<sub>1-6</sub>alkyl, N(R<sup>6a</sup>R<sup>6b</sup>)-C<sub>1-6</sub>alkyl,  
R<sup>6b</sup>-O-C(=O)-C<sub>1-6</sub>alkyl and N(R<sup>6a</sup>R<sup>6b</sup>)-C(=O)-C<sub>1-6</sub>alkyl;
17. A compound according to any of claims 5 to 8, wherein R<sup>9</sup>, R<sup>10</sup>, R<sup>11</sup> are selected  
from C<sub>1-6</sub>alkyl, Het-C<sub>1-6</sub>alkyl, Ar<sup>1</sup>-C<sub>1-6</sub>alkyl, cyanoC<sub>1-6</sub>alkyl, C<sub>2-6</sub>alkenyl, cyano-  
30 C<sub>2-6</sub>alkenyl, C<sub>2-6</sub>alkynyl, cyanoC<sub>2-6</sub>alkynyl, R<sup>6b</sup>-O-C<sub>1-6</sub>alkyl,  
amino-S(=O)<sub>2</sub>-C<sub>1-6</sub>alkyl, R<sup>6b</sup>-O-C(=O)-C<sub>1-6</sub>alkyl, amino-C(=O)-C<sub>1-6</sub>alkyl, mono-  
and diamino-C(=O)-C<sub>1-6</sub>alkyl;
- 35 18. A compound according to any of claims 5 to 8, wherein R<sup>9</sup>, R<sup>10</sup>, R<sup>11</sup> are C<sub>1-6</sub>alkyl  
or R<sup>6b</sup>-O-C<sub>1-6</sub>alkyl; and R<sup>10</sup> and/or R<sup>11</sup> may also be hydrogen.
19. A compound according to any of claims 1 to 18, wherein G is C<sub>1-10</sub>alkanediyl.

20. A compound according to any of claims 1 to 18, wherein G is methylene.
21. A compound according to any of claims 1 to 19 wherein R<sup>1</sup> is Ar<sup>1</sup>, quinolinyl,  
5 benzimidazolyl, a radical of formula  
  
(c-4)
- pyrazinyl, or pyridyl; or wherein Ar<sup>1</sup>, quinolinyl, benzimidazolyl, a radical of  
formula (c-4) may be substituted with 1 or where possible with 2 or 3 substituents  
independently selected from the group consisting of halo, hydroxy, amino, cyano,  
10 carboxyl, C<sub>1-6</sub>alkyl, C<sub>1-6</sub>alkyloxy, C<sub>1-6</sub>alkylthio, C<sub>1-6</sub>alkyloxyC<sub>1-6</sub>alkyl, Ar<sup>1</sup>,  
Ar<sup>1</sup>C<sub>1-6</sub>alkyl, Ar<sup>1</sup>C<sub>1-6</sub>alkyloxy, hydroxyC<sub>1-6</sub>alkyl, mono-or di(C<sub>1-6</sub>alkyl)amino,  
mono-or di(C<sub>1-6</sub>alkyl)aminoC<sub>1-6</sub>alkyl, polyhaloC<sub>1-6</sub>alkyl, C<sub>1-6</sub>alkylcarbonylamino,  
C<sub>1-6</sub>alkyl-SO<sub>2</sub>-NR<sup>5c</sup>-, Ar<sup>1</sup>-SO<sub>2</sub>-NR<sup>5c</sup>-, C<sub>1-6</sub>alkyloxycarbonyl, -C(=O)-NR<sup>5c</sup>R<sup>5d</sup>,  
HO(-CH<sub>2</sub>-CH<sub>2</sub>-O)<sub>n</sub>-, halo(-CH<sub>2</sub>-CH<sub>2</sub>-O)<sub>n</sub>-, C<sub>1-6</sub>alkyloxy(-CH<sub>2</sub>-CH<sub>2</sub>-O)<sub>n</sub>-,  
15 Ar<sup>1</sup>C<sub>1-6</sub>alkyloxy(-CH<sub>2</sub>-CH<sub>2</sub>-O)<sub>n</sub>- and mono-or di(C<sub>1-6</sub>alkyl)amino(-CH<sub>2</sub>-CH<sub>2</sub>-O)<sub>n</sub>-;  
wherein each n independently is 1, 2, 3 or 4; each m independently is 1 or 2; Ar<sup>1</sup>,  
R<sup>5c</sup>, R<sup>5d</sup> are as claimed in claim 1.
22. A compound according to any of claims 1 to 20 wherein R<sup>1</sup> is Ar<sup>1</sup>, quinolinyl,  
20 benzimidazolyl or a radical of formula (c-4) wherein m is 2, pyrazinyl, or pyridyl,  
wherein each of these radicals may optionally be substituted with one, two or three  
radicals selected from the group consisting of halo, hydroxy, C<sub>1-6</sub>alkyl,  
C<sub>1-6</sub>alkyloxy, Ar<sup>1</sup>C<sub>1-6</sub>alkyloxy, (C<sub>1-6</sub>alkyloxy)C<sub>1-6</sub>alkyloxy.
- 25 23. A compound according to any of claims 1 to 20 wherein R<sup>1</sup> is phenyl optionally  
substituted with one, two or three radicals selected from the group consisting of  
halo, hydroxy, C<sub>1-6</sub>alkyl, C<sub>1-6</sub>alkyloxy; quinolinyl; a radical (c-4) wherein m is 2,  
optionally substituted with up to two radicals selected from C<sub>1-6</sub>alkyl;  
benzimidazolyl optionally substituted with C<sub>1-6</sub>alkyl; pyridyl optionally substituted  
30 with one or two radicals selected from hydroxy, halo, C<sub>1-6</sub>alkyl, benzyloxy and  
C<sub>1-6</sub>alkyloxy, pyrazinyl optionally substituted with up to three radicals selected  
from C<sub>1-6</sub>alkyl; or pyridyl substituted or optionally substituted with one or two  
radicals selected from the group consisting of halo, hydroxy, C<sub>1-6</sub>alkyl,  
C<sub>1-6</sub>alkyloxy, Ar<sup>1</sup>C<sub>1-6</sub>alkyloxy, (C<sub>1-6</sub>alkyloxy)C<sub>1-6</sub>alkyloxy.

24. A compound according to any of claims 1 to 20 wherein R<sup>1</sup> is pyridyl optionally substituted with one or two radicals selected from hydroxy, halo, C<sub>1-6</sub>alkyl, benzyloxy and C<sub>1-6</sub>alkyloxy,

5

25. A compound according to any of claims 1 to 20 wherein R<sup>1</sup> is pyridyl optionally substituted with one or two radicals selected from hydroxy and C<sub>1-6</sub>alkyl, C<sub>1-6</sub>alkyloxy,

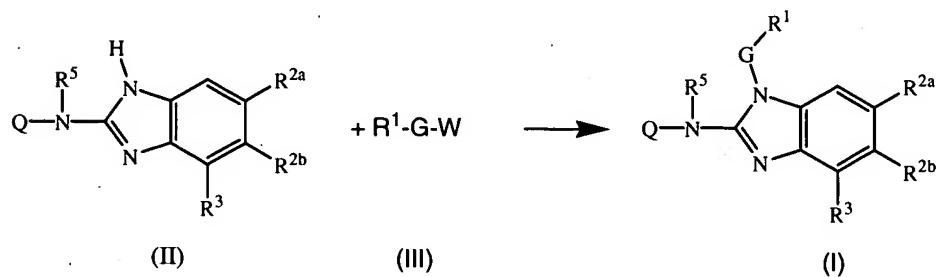
- 10 26 A compound according to any of claims 1 to 25, wherein, where applicable, one of R<sup>2a</sup> and R<sup>3a</sup> is selected from -N(R<sup>4a</sup>R<sup>4b</sup>), (R<sup>4a</sup>R<sup>4b</sup>)N-CO-, C<sub>1-6</sub>alkyl substituted with one or two substituents selected from hydroxy, cyano, Ar<sup>2</sup>, Het or -N(R<sup>4a</sup>R<sup>4b</sup>) and C<sub>2-6</sub>alkenyl substituted with cyano or Ar<sup>2</sup>; and the other one of R<sup>2a</sup> and R<sup>3a</sup> is hydrogen; and  
15 in case R<sup>2a</sup> is different from hydrogen then R<sup>2b</sup> is hydrogen, C<sub>1-6</sub>alkyl or halogen and R<sup>3b</sup> is hydrogen;  
in case R<sup>3a</sup> is different from hydrogen then R<sup>3b</sup> is hydrogen, C<sub>1-6</sub>alkyl or halogen and R<sup>2b</sup> is hydrogen.

- 20 27. A compound according to any of claims 1 to 25, wherein, where applicable, one of R<sup>2a</sup> and R<sup>3a</sup> is selected from (R<sup>4a</sup>R<sup>4b</sup>)N-CO-; C<sub>1-6</sub>alkyl optionally substituted with hydroxy, Ar<sup>2</sup>, Het or -N(R<sup>4a</sup>R<sup>4b</sup>); and C<sub>2-6</sub>alkenyl substituted with Ar<sup>1</sup>; and the other one of R<sup>2a</sup> and R<sup>3a</sup> is hydrogen; or  
in case R<sup>2a</sup> is different from hydrogen then R<sup>2b</sup> is hydrogen or C<sub>1-6</sub>alkyl and R<sup>3b</sup> is hydrogen;  
25 in case R<sup>3a</sup> is different from hydrogen then R<sup>3b</sup> is hydrogen or C<sub>1-6</sub>alkyl and R<sup>2b</sup> is hydrogen;  
Ar<sup>2</sup>, Het, R<sup>4a</sup> and R<sup>4b</sup> are as in the definitions of the compounds of formula (I) or as in any subgroup specified herein.

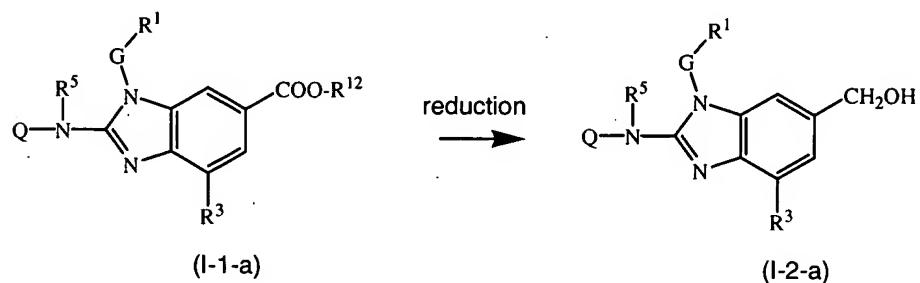
30

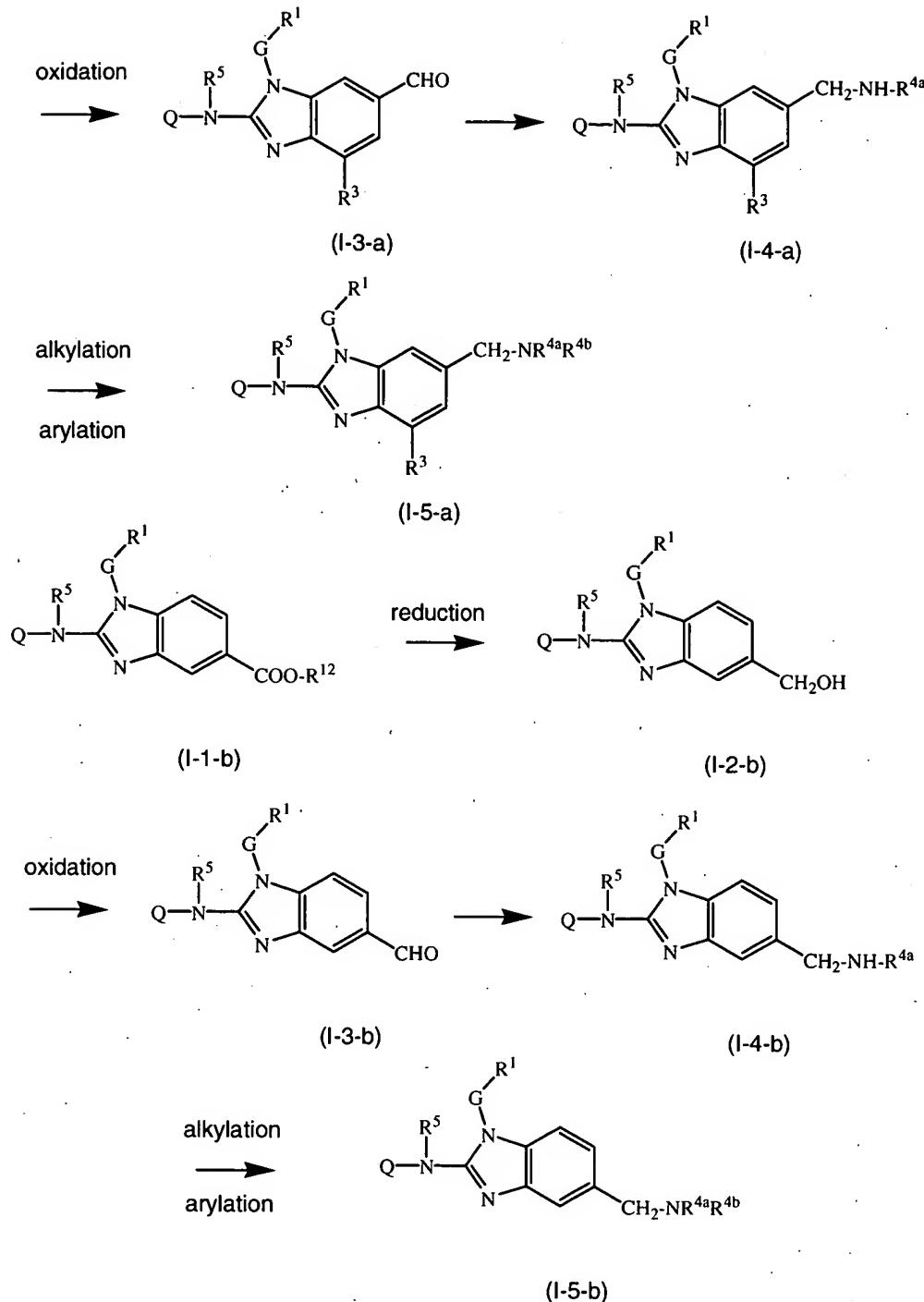
28. A compound according to any of claims 26 or 27, wherein, where applicable, R<sup>2b</sup> and R<sup>3b</sup> are both hydrogen.  
29. A compound according to claim 1, wherein the compound is 2-[6-{[2-(3-hydroxy-propyl)-5-methyl-phenylamino]-methyl}-2-(3-morpholin-4-yl-propylamino)-benzimidazol-1-ylmethyl]-6-methyl-pyridin-3-ol.  
35  
30. A compound as claimed in any one of claims 1 to 29 for use as a medicine.

31. A pharmaceutical composition comprising a pharmaceutically acceptable carrier, and as active ingredient a therapeutically effective amount of a compound as described in any one of claims 1 to 29.
- 5 32. The use of a compound as claimed in any of claims 1 to 29 for the manufacture of a medicament for inhibiting RSV.
33. A process for preparing a compound as claimed in any of claims 1 to 29, said process comprising
- 10 (a) reacting an intermediate of formula (II) with a reagent (III) as in the following reaction scheme:

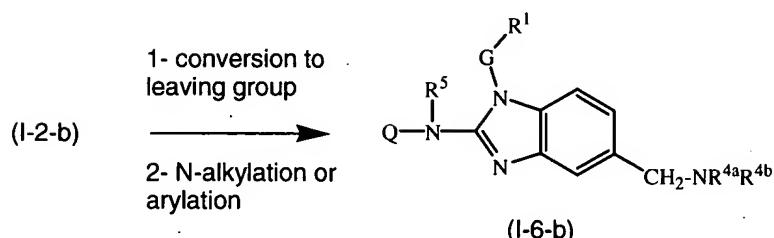
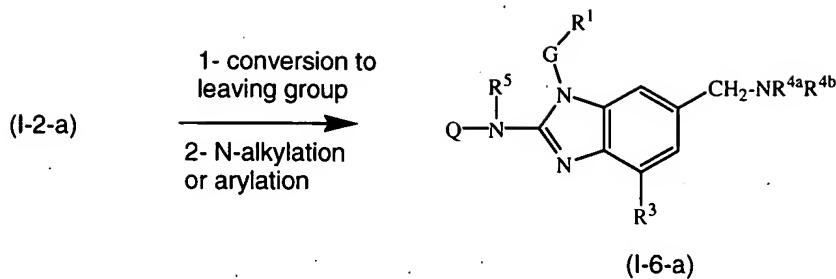


- 15 (b) reducing a compound (I-1-a) or (I-1-b) to obtain a compound (I-2-a) or (I-2-b) and subsequently oxidizing the alcohol group in (I-2-a) or (I-2-b) with a mild oxidant to obtain an intermediate (I-3-a) or (I-3-b) and subsequently alkylating (I-3-a) or (I-3-b) to obtain (I-4-a) or (I-4-b), which is further alkylated to obtain (I-5-a) or (I-5-b) as in the following reaction schemes wherein R<sup>12</sup> is C<sub>1-6</sub>alkyl wherein R<sup>4a</sup> and R<sup>4b</sup> are as claimed in claims 1 to 20 but are other than hydrogen.

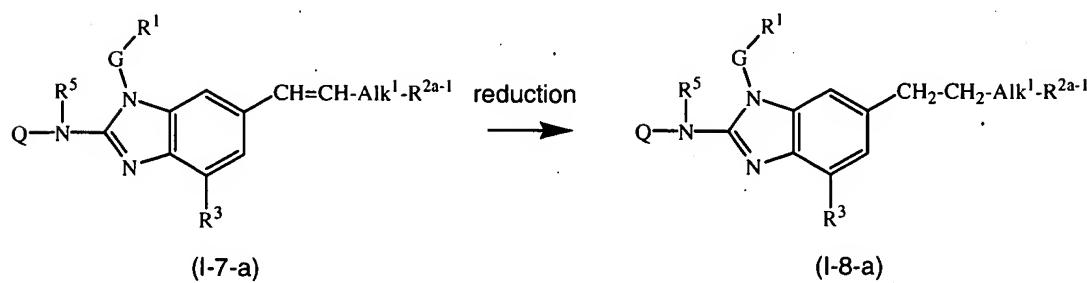
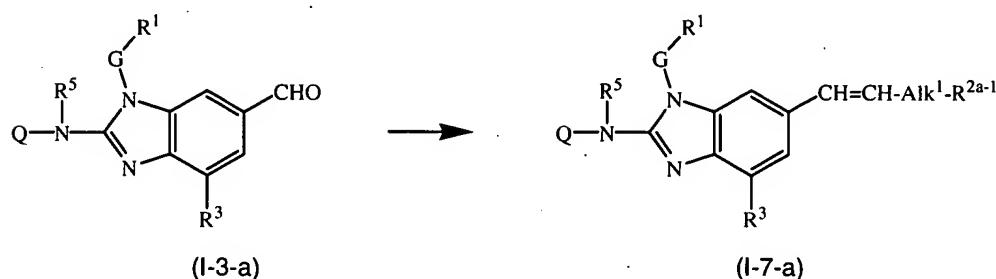


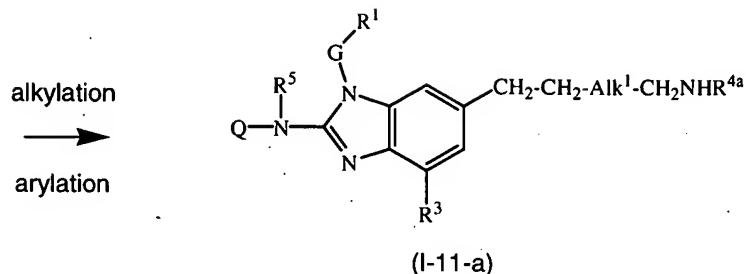
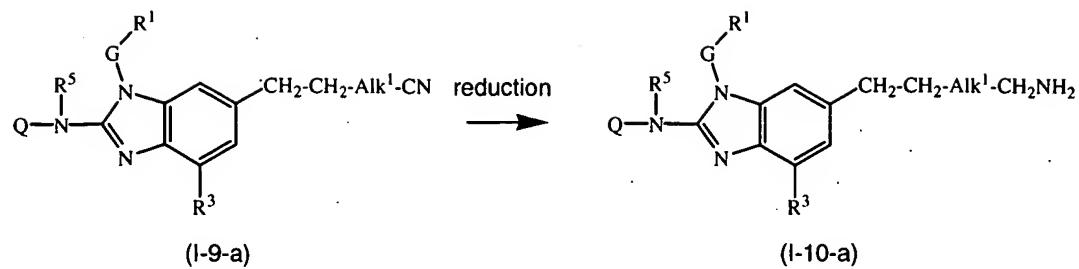


- (c) converting the alcohol group in (I-2-a) or (I-2-b) to a leaving group and subsequently reacting the thus obtained products with an amine thus obtaining (I-6-a) or (I-6-b)

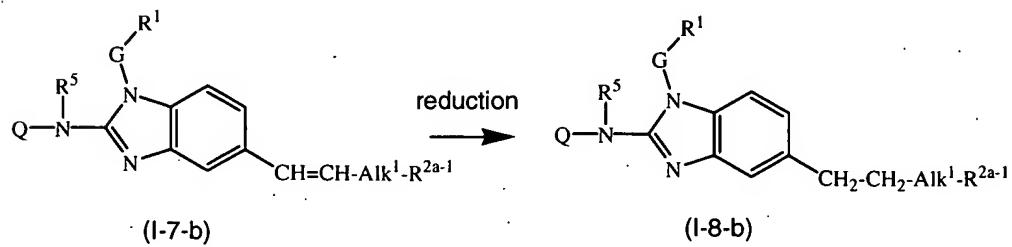
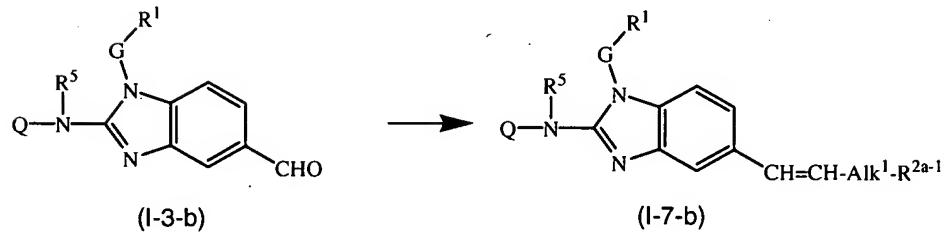


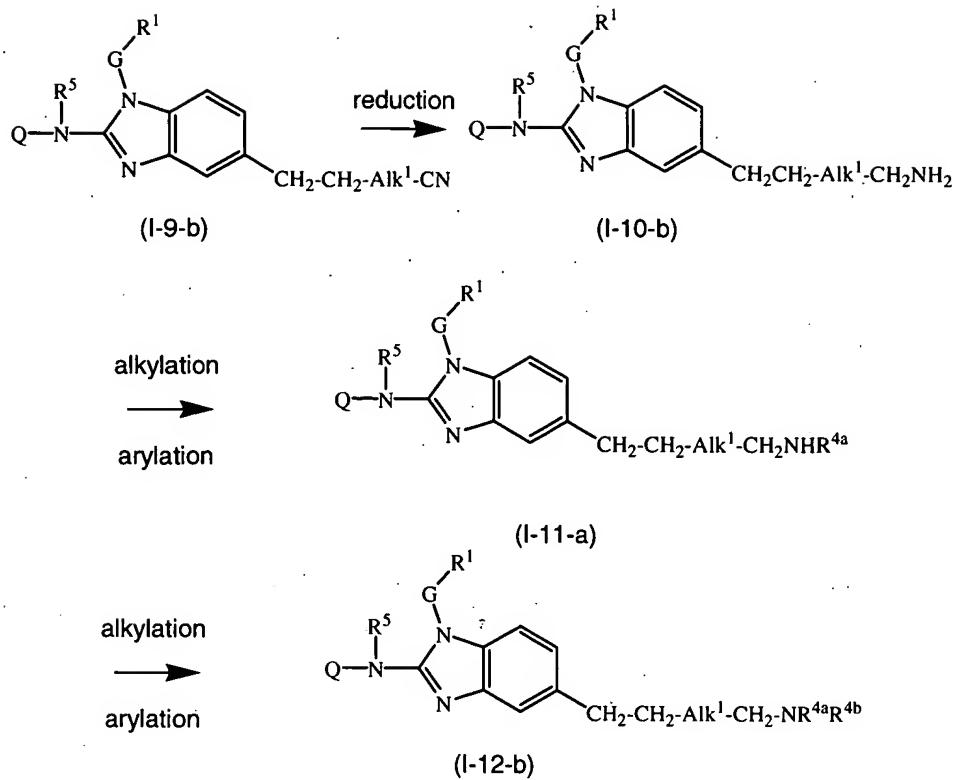
(d) converting an intermediate (I-3-a) or (I-3-b) to a compound (I-7-a) or (I-7-b) using a Wittig or Wittig-Horner procedure; selectively reducing the double bond in (I-7-a) or (I-7-b) thus obtaining compounds (I-8-a) or (I-8-b); reducing the cyano group in (I-9-a) or (I-9-b) to a methylene-amine group thus obtaining (I-10-a) or (I-10-b); mono- or dialkylating the latter thus obtaining compounds (I-11-a) or (I-11-b); or (I-12-a) or (I-12-b), wherein Alk<sup>1</sup> is C<sub>4-6</sub>alkanediyl, R<sup>2a-1</sup> is any of the substituents on alkenyl as defined in any of claims 1 – 28, and preferably R<sup>2a-1</sup> is Ar<sup>2</sup> or CN:





5





5

and optionally converting the thus obtained compounds of formula (I) into their pharmaceutically acceptable base-addition or acid addition salt form by treatment with a suitable base or acid and conversely treating the base-addition or acid addition salt form with an acid or a base to obtain the free form of the compound of formula (I).

10